

*Additional info:*

Meller 09/889,414

28/08/2003

=> d his

(FILE 'HOME' ENTERED AT 14:05:18 ON 28 AUG 2003)

FILE 'REGISTRY' ENTERED AT 14:05:25 ON 28 AUG 2003

L1 1 S 287714-41-4/RN

*This is the (E)-7- etc compd.*

FILE 'HCAPLUS' ENTERED AT 14:05:56 ON 28 AUG 2003

L2 100 S L1

*100 hits for*

L3 73 S L2 AND (?THERAP? OR ?PHARM?)

*73 hits when combined with*

L4 0 S L3 AND PRD<199902

*0 hits before Feb 99 (priority date)*

L5 0 S L3 AND PD<19990201

*0 hits before Feb 99 (pub date)*

FILE 'REGISTRY' ENTERED AT 14:14:30 ON 28 AUG 2003

E FENOFIBRATE/CN

L6 1 S E3

L7 0 S L1 AND (L6 OR ?FENOFIBRATE?)

FILE 'HCAPLUS' ENTERED AT 14:15:34 ON 28 AUG 2003

L8 17 S L1 AND (L6 OR ?FENOFIBRATE?)

L9 15 S L8 AND (?THERAP? OR ?PHARM?)

L10 2 S L8 NOT L9.

*same search as earlier one  
so I could get the 2 addnl. cit's.*

L11 806 S L6 OR ?FENOFIBRATE?

*806 cit's for fenofibrate*

L12 370 S L11 AND (?THERAP? OR ?PHARM?)

*370 when combined with therap or pharm*

L13 143 S L12 AND PD<19990201

*143 cit's before Feb 99 (priority date)*

L14 57 S L12 AND PRD<19990201

*57 cit's " " " (pub date)*

=&gt; d ibib abs hitstr hitrn 110 1-2

L10 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:927185 HCAPLUS

DOCUMENT NUMBER: 138:24716

TITLE: Preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents

INVENTOR(S): Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096358	A2	20021205	WO 2002-US16633	20020523
WO 2002096358	A3	20030327		

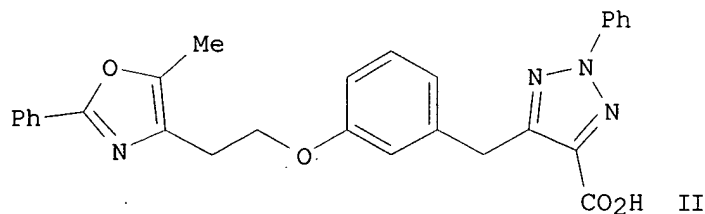
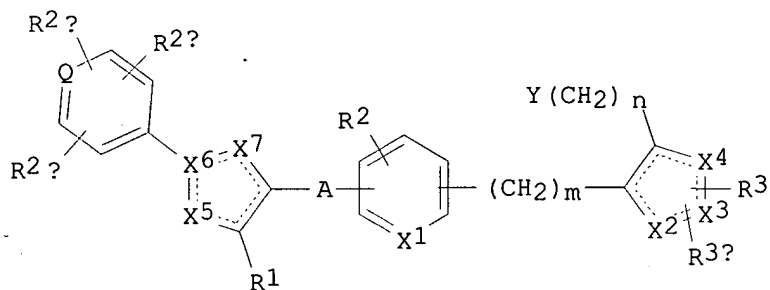
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

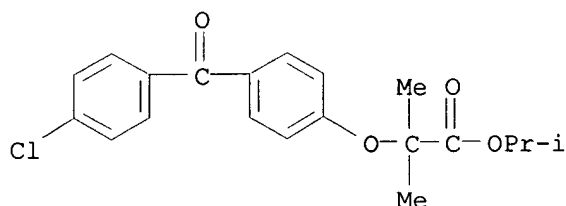
PRIORITY APPLN. INFO.: US 2001-294380P P 20010530

OTHER SOURCE(S): MARPAT 138:24716

GI

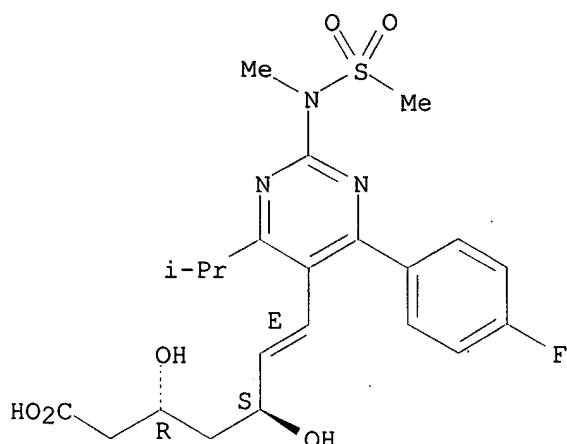


- AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH<sub>2</sub>)<sub>x</sub>, (CH<sub>2</sub>)<sub>x1</sub>, (CH<sub>2</sub>)<sub>x2</sub>(CH<sub>2</sub>)<sub>x3</sub>; x = 1-5; x<sub>1</sub> = 2-5; x<sub>2</sub>, x<sub>3</sub> = 0-5; .gtoreq.1 of x<sub>2</sub>, x<sub>3</sub> .noteq. 0; X<sub>1</sub> = CH, N; X<sub>2</sub>, X<sub>3</sub>, X<sub>4</sub>, X<sub>5</sub>, X<sub>7</sub> = C, N, O, S; in each of X<sub>1</sub>-X<sub>7</sub>, C may include CH; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl, alkoxy, halo, (substituted) amino; R<sub>2a</sub>, R<sub>2b</sub> and R<sub>2c</sub> = H, alkyl, alkoxy, halo, (substituted) amino; R<sub>3</sub>, R<sub>3a</sub> = H, alkyl, arylalkyl, aryloxy carbonyl, alkyloxy carbonyl, alkynyloxy carbonyl, alkenyloxy carbonyl, aryl carbonyl, alkyl carbonyl, aryl, heteroaryl, alkyl(halo)aryloxy carbonyl, alkoxy(halo)aryloxy carbonyl, cycloalkylaryloxy carbonyl, cycloalkyloxyaryloxy carbonyl, cycloheteroalkyl, heteroaryl carbonyl, heteroaryl heteroaryl alkyl, alkyl carbonyl amino, aryl carbonyl amino, heteroaryl carbonyl amino, alkoxy carbonyl amino, aryloxy carbonyl amino, heteroaryl heteroaryl carbonyl, alkyl sulfonyl, alkenyl sulfonyl, heteroaryl oxy carbonyl, cycloheteroalkyloxy carbonyl, heteroaryl alkyl, aminocarbonyl, substituted aminocarbonyl, alkyl aminocarbonyl, aryl aminocarbonyl, aryloxy aryl alkyl, alkynyloxy carbonyl, haloalkoxy aryloxy carbonyl, alkoxy carbonyl aryloxy carbonyl, aryloxy aryloxy carbonyl, aryl sulfinyl aryl carbonyl, etc.; Y = CO<sub>2</sub>R<sub>4</sub>, 1-tetrazolyl, P(O)(OR<sub>4a</sub>)R<sub>5</sub>, P(O)(OR<sub>4a</sub>)<sub>2</sub>; R<sub>4</sub> = H, alkyl, prodrug ester; R<sub>4a</sub> = H, prodrug ester; R<sub>5</sub> = alkyl, aryl; with provisos], were prepd. as simultaneous inhibitors of peroxisome proliferator activated receptor-.gamma. (PPAR.gamma.) and stimulators of peroxisome proliferator activated receptor-.alpha. (PPAR.alpha.). Thus, title compd. (II) (prepd. starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPAR.alpha. and to PPAR.gamma. ligand binding domains with IC<sub>50</sub> = 69 nM.
- IT **49562-28-9, Fenofibrate 287714-41-4**  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (coadministration; prepn. of azolecarboxylic acids useful as  
 antidiabetic and antiobesity agents)
- RN 49562-28-9 HCAPLUS
- CN Propanoic acid, 2-[4-(4-chlorobenzoyl)phenoxy]-2-methyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)



- RN 287714-41-4 HCAPLUS
- CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6-(1-methylethyl)-2-[methyl(methylsulfonyl)amino]-5-pyrimidinyl]-3,5-dihydroxy-, (3R,5S,6E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



IT 49562-28-9, Fenofibrate 287714-41-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(coadministration; prepn. of azolecarboxylic acids useful as  
antidiabetic and antiobesity agents)

L10 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:927184 HCAPLUS

DOCUMENT NUMBER: 138:14048

TITLE: Preparation of oxazolyethoxyphenylprolines and  
related compounds as antidiabetic and antiobesity  
agents.

INVENTOR(S): Cheng, Peter T.; Jeon, Yoon; Wang, Wei

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

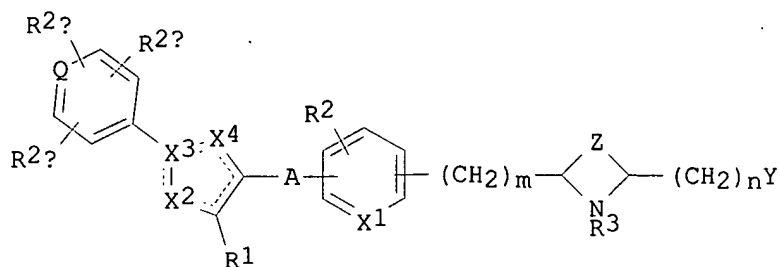
DOCUMENT TYPE: Patent

LANGUAGE: English

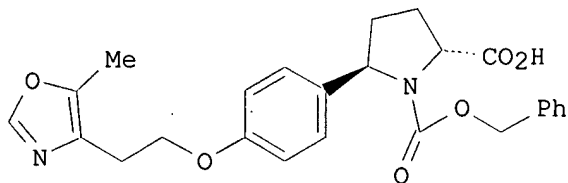
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096357	A2	20021205	WO 2002-US16628	20020523
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US 2003092697	A1	20030515	US 2002-153342	20020522
PRIORITY APPLN. INFO.: US 2001-294505P P 20010530 OTHER SOURCE(S): MARPAT 138:14048 GI				



I



II

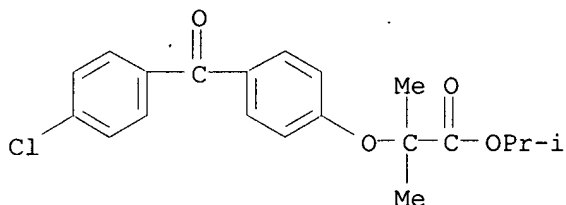
AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH<sub>2</sub>)<sub>x</sub>, (CH<sub>2</sub>)<sub>x1</sub>, with an alkenyl or alkynyl bond in the chain, (CH<sub>2</sub>)<sub>x2</sub>O(CH<sub>2</sub>)<sub>x3</sub>; x = 1-5; x1 = 2-5; x2, x3 = 0-5; provided that .gtoreq.1 of x2 and x3 .noteq. 0; X1 = CH, N; X2 = C, N, O, S; X3 = C, N; X4 = C, N, O, S provided that .gtoreq.1 of X2, X3, X4 = N; in each of X1-X4, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b R2c = H, alkyl, alkoxy, halo, (substituted) amino; R3 = H, alkyl, arylalkyl, aryloxycarbonyl, alkylcarbonyl, aryl, heteroaryl, cycloheteroalkyl, heteroarylcarbonyl, heteroarylheteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxy carbonylamino, aryloxycarbonylamino, heteroarylalkoxy carbonylamino, heteroarylheteroarylcarbonyl, alkylsulfonyl, alkenylsulfonyl, heteroarylalkoxy carbonyl, cycloheteroalkoxy carbonyl, aryloxyheteroarylalkyl, heteroarylalkoxyarylalkyl, arylalkyl, arylalkenylalkyl, arylaminoarylalkyl, etc.; Y = CO<sub>2</sub>R<sub>4</sub>, 1-tetrazolyl, P(O)(OR<sub>4a</sub>)R<sub>5</sub>, P(O)(OR<sub>4a</sub>)<sub>2</sub>; R<sub>4</sub> = H, alkyl, prodrug ester; R<sub>4a</sub> = H, prodrug ester; R<sub>5</sub> = alkyl, aryl; Z = (CH<sub>2</sub>)<sub>x4</sub>, (CH<sub>2</sub>)<sub>x5</sub>, (CH<sub>2</sub>)<sub>x6</sub>O(CH<sub>2</sub>)<sub>x7</sub>; x<sub>4</sub> = 1-5; x<sub>5</sub> = 2-5; x<sub>6</sub>, x<sub>7</sub> = 0-4], were prepd. as antidiabetic and antiobesity agents (no data). Thus, title compd. (II) was prepd. in 6 steps.

IT 49562-28-9, Fenofibrate 287714-41-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(coadministration; prepn. of oxazolylethoxyphenylprolines and related compds. as antidiabetic and antiobesity agents)

RN 49562-28-9 HCAPLUS

CN Propanoic acid, 2-[4-(4-chlorobenzoyl)phenoxy]-2-methyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)

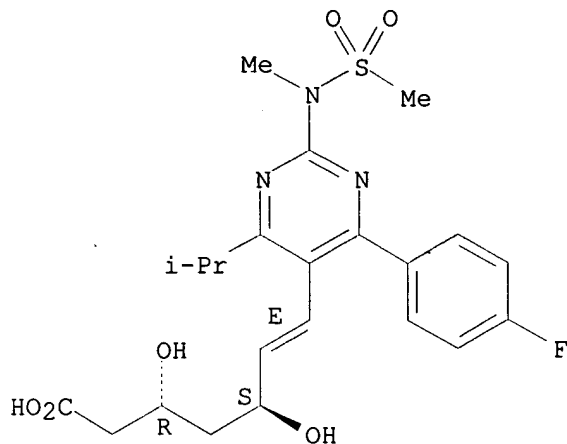


RN 287714-41-4 HCAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6-(1-methylethyl)-2-

[methyl(methylsulfonyl)amino]-5-pyrimidinyl]-3,5-dihydroxy-, (3R,5S,6E)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



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RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(coadministration; prepn. of oxazolylethoxyphenylprolines and related  
compds. as antidiabetic and antiobesity agents)